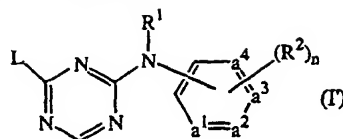


-23-

Claims.

1. A compound of formula



a *N*-oxide, an addition salt, a quaternary amine or a stereochemically isomeric form thereof, wherein

-a¹-a²-a³-a⁴- represents a bivalent radical of formula

-CH=CH-CH=CH- (a-1);

-N=CH-CH=CH- (a-2);

-N=CH-N=CH- (a-3);

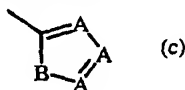
10 -N=CH-CH=N- (a-4);

-N=N-CH=CH- (a-5);

n is 0, 1, 2, 3 or 4; and in case -a¹-a²-a³-a⁴- is (a-1), then *n* may also be 5;

R¹ is hydrogen, aryl, formyl, C₁-₆alkylcarbonyl, C₁-₆alkyl, C₁-₆alkyloxycarbonyl, C₁-₆alkyl substituted with formyl, C₁-₆alkylcarbonyl, C₁-₆alkyloxycarbonyl; and

15 each R² independently is hydroxy, halo, C₁-₆alkyl optionally substituted with cyano or -C(=O)R⁴, C₃-₇cycloalkyl, C₂-₆alkenyl optionally substituted with one or more halogen atoms or cyano, C₂-₆alkynyl optionally substituted with one or more halogen atoms or cyano, C₁-₆alkyloxy, C₁-₆alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C₁-₆alkyl)amino, polyhalomethyl, polyhalomethyloxy, polyhalomethylthio, -S(=O)ₚR⁴, -NH-S(=O)ₚR⁴, -C(=O)R⁴, -NHC(=O)H, 20 -C(=O)NHNH₂, -NHC(=O)R⁴, -C(=NH)R⁴ or a radical of formula



wherein each A independently is N, CH or CR⁴;

B is NH, O, S or NR⁴;

25 *p* is 1 or 2; and

R⁴ is methyl, amino, mono- or dimethylamino or polyhalomethyl;

L is C₄-₁₀alkyl, C₂-₁₀alkenyl, C₂-₁₀alkynyl, C₃-₇cycloalkyl, whereby each of said aliphatic group may be substituted with one or two substituents independently selected from

- * C₃-₇cycloalkyl,
- 30 * indolyl or isoindolyl, each optionally substituted with one, two, three or four substituents each independently selected from halo, C₁-₆alkyl, hydroxy, C₁-₆alkyloxy, cyano, aminocarbonyl, nitro, amino, polyhalomethyl, polyhalomethyloxy and C₁-₆alkylcarbonyl,

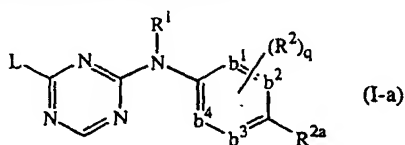
-24-

- * phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R^2 ; or

L is $-X-R^3$ wherein

- 5 R^3 is phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said aromatic rings may optionally be substituted with two, three, four or five substituents each independently selected from the substituents defined in R^2 ; and
 X is $-NR^1$ -, $-NH-NH$ -, $-N=N$ -, $-O$ -, $-C(=O)$ -, $-CHOH$ -, $-S$ -, $-S(=O)$ - or $-S(=O)_2$ -,
 aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each
 10 independently selected from halo, C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{1-6} alkyloxy, cyano, nitro, polyhalo C_{1-6} alkyl and polyhalo C_{1-6} alkyloxy.

2. A compound of formula



- 15 a *N*-oxide, an addition salt, a quaternary amine or a stereochemically isomeric form thereof, wherein

$-b^1=b^2-C(R^{2a})=b^3-b^4$ represents a bivalent radical of formula

- CH=CH-C(R^{2a})=CH-CH= (b-1);
 -N=CH-C(R^{2a})=CH-CH= (b-2);
 20 -CH=N-C(R^{2a})=CH-CH= (b-3);
 -N=CH-C(R^{2a})=N-CH= (b-4);
 -N=CH-C(R^{2a})=CH-N= (b-5);
 -CH=N-C(R^{2a})=N-CH= (b-6);
 -N=N-C(R^{2a})=CH-CH= (b-7);

- 25 q is 0, 1, 2; or where possible q is 3 or 4;

R^1 is hydrogen, aryl, formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyl, C_{1-6} alkyloxycarbonyl, C_{1-6} alkyl substituted with formyl, C_{1-6} alkylcarbonyl, C_{1-6} alkyloxycarbonyl;

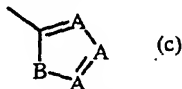
R^{2a} is cyano; aminocarbonyl; mono- or di(methyl)aminocarbonyl; C_{1-6} alkyl substituted with cyano, aminocarbonyl or mono- or di(methyl)aminocarbonyl; C_{2-6} alkenyl

- 30 substituted with cyano; or C_{2-6} alkynyl substituted with cyano;

each R^2 independently is hydroxy, halo, C_{1-6} alkyl optionally substituted with cyano or $-C(=O)R^4$, C_{3-7} cycloalkyl, C_{2-6} alkenyl optionally substituted with one or more halogen atoms or cyano, C_{2-6} alkynyl optionally substituted with one or more halogen atoms or cyano, C_{1-6} alkyloxy, C_{1-6} alkyloxycarbonyl, carboxyl, cyano, nitro, amino,

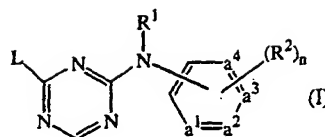
-25-

mono- or di(C₁₋₆alkyl)amino, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, -S(=O)_pR⁴, -NH-S(=O)_pR⁴, -C(=O)R⁴, -NHC(=O)H, -C(=O)NHNH₂, -NHC(=O)R⁴, -C(=NH)R⁴ or a radical of formula



- 5 wherein each A independently is N, CH or CR⁴;
 B is NH, O, S or NR⁴;
 p is 1 or 2; and
 R⁶ is methyl, amino, mono- or dimethylamino or polyhalomethyl;
 L is C₄₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl, C₃₋₇cycloalkyl, whereby each of said aliphatic
 10 group may be substituted with one or two substituents independently selected from
 * C₃₋₇cycloalkyl,
 * indolyl or isindolyl, each optionally substituted with one, two, three or four
 substituents each independently selected from halo, C₁₋₆alkyl, hydroxy,
 C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, polyhalomethyl,
 15 polyhalomethoxy and C₁₋₆alkylcarbonyl,
 * phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said
 aromatic rings may optionally be substituted with one, two, three, four or five
 substituents each independently selected from the substituents defined in R²; or
 L is -X-R³ wherein
 20 R³ is phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said
 aromatic rings may optionally be substituted with two, three, four or five
 substituents each independently selected from the substituents defined in R²; and
 X is -NR¹-, -NH-NH-, -N=N-, -O-, -C(=O)-, -CHOH-, -S-, -S(=O)- or -S(=O)₂-;
 aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each
 25 independently selected from halo, C₁₋₆alkyl, C₃₋₇cycloalkyl, C₁₋₆alkyloxy, cyano,
 nitro, polyhaloC₁₋₆alkyl and polyhaloC₁₋₆alkyloxy.
3. A compound as claimed in any one of claims 1 and 2 wherein L is -X-R³, -X- is -O-
 or -NH- and R³ is phenyl substituted with two or three substituents each
 30 independently selected from chloro, bromo, cyano and methyl.
4. A compound as claimed in claim 2 wherein R^{2a} is cyano, aminocarbonyl, mono- or
 di(methyl)aminocarbonyl, C₁₋₆alkyl substituted with cyano, aminocarbonyl or mono-
 or di(methyl)aminocarbonyl.
 35
5. The use of a compound of formula

-26-



a *N*-oxide, a pharmaceutically acceptable addition salt, a quaternary amine or a stereochemically isomeric form thereof, wherein

5 -a¹=a²-a³=a⁴- represents a bivalent radical of formula

-CH=CH-CH=CH- (a-1);

-N=CH-CH=CH- (a-2);

-N=CH-N=CH- (a-3);

-N=CH-CH=N- (a-4);

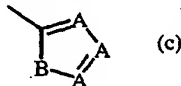
10 -N=N-CH=CH- (a-5);

n is 0, 1, 2, 3 or 4; and in case -a¹=a²-a³=a⁴- is (a-1), then n may also be 5;

R¹ is hydrogen, aryl, formyl, C₁₋₆alkylcarbonyl, C₁₋₆alkyl, C₁₋₆alkyloxycarbonyl, C₁₋₆alkyl substituted with formyl, C₁₋₆alkylcarbonyl, C₁₋₆alkyloxycarbonyl; and each R² independently is hydroxy, halo, C₁₋₆alkyl optionally substituted with cyano or

15 -C(=O)R⁴, C₃₋₇cycloalkyl, C₂₋₆alkenyl optionally substituted with one or more halogen atoms or cyano, C₂₋₆alkynyl optionally substituted with one or more halogen atoms or cyano, C₁₋₆alkyloxy, C₁₋₆alkyloxycarbonyl, carboxyl, cyano, nitro, amino, mono- or di(C₁₋₆alkyl)amino, polyhalomethyl, polyhalomethoxy, polyhalomethylthio, -S(=O)_pR⁴, -NH-S(=O)_pR⁴, -C(=O)R⁴, -NHC(=O)H,

20 -C(=O)NHNH₂, -NHC(=O)R⁴, -C(=NH)R⁴ or a radical of formula



wherein each A independently is N, CH or CR⁴;

B is NH, O, S or NR⁴;

p is 1 or 2; and

25 R⁴ is methyl, amino, mono- or dimethylamino or polyhalomethyl;

L is C₁₋₁₀alkyl, C₂₋₁₀alkenyl, C₂₋₁₀alkynyl, C₃₋₇cycloalkyl, whereby each of said aliphatic group may be substituted with one or two substituents independently selected from

* C₃₋₇cycloalkyl,

* indolyl or isoindolyl, each optionally substituted with one, two, three or four substituents each independently selected from halo, C₁₋₆alkyl, hydroxy, C₁₋₆alkyloxy, cyano, aminocarbonyl, nitro, amino, polyhalomethyl, polyhalomethoxy and C₁₋₆alkylcarbonyl,

30

* phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said

-27-

aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R^2 ; or

L is $-X-R^3$ wherein

R^3 is phenyl, pyridinyl, pyrimidinyl, pyrazinyl or pyridazinyl, wherein each of said

5 aromatic rings may optionally be substituted with one, two, three, four or five substituents each independently selected from the substituents defined in R^2 ; and

X is $-NR^1$ -, $-NH-NH$ -, $-N=N$ -, $-O$ -, $-C(=O)$ -, $-CHOH$ -, $-S$ -, $-S(=O)$ - or $-S(=O)_2$;

aryl is phenyl or phenyl substituted with one, two, three, four or five substituents each independently selected from halo, C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{1-6} alkyloxy, cyano,

10 nitro, polyhalo C_{1-6} alkyl and polyhalo C_{1-6} alkyloxy;

for the manufacture of a medicine for the treatment of subjects suffering from HIV (Human Immunodeficiency Virus) infection.

6. A compound as claimed in any one of claims 1 to 4 for use as a medicine.

15

7. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically active amount of compound as claimed in any one of claims 1 to 4.

8. A process for preparing a pharmaceutical composition as claimed in claim 7

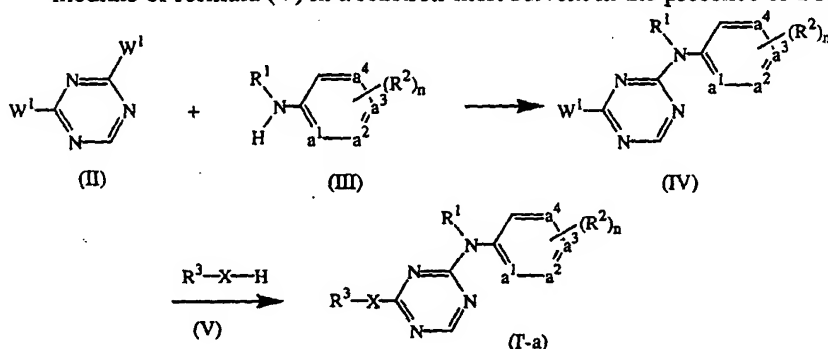
20 characterized in that a therapeutically effective amount of a compound as claimed in any one of claims 1 to 4 is intimately mixed with a pharmaceutically acceptable carrier.

9. A process for preparing a compound as claimed in any one of claims 1 to 4, or a

25 *N*-oxide, an addition salt, a quaternary amine or a stereochemically isomeric form thereof, characterized by

a) reacting an intermediate of formula (II) with an amine derivative of formula (III) and subsequently reacting the thus obtained intermediate of formula (IV) with an intermediate of formula (V) in a reaction-inert solvent in the presence of a suitable base;

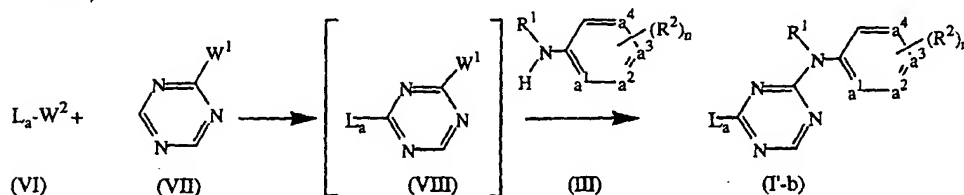
30



-28-

wherein W^1 is a suitable leaving group and R^1 to R^3 , X , n and $-a^1=a^2-a^3=a^4-$ are as defined in claim 1;

- b) reacting an intermediate of formula (VI) with an intermediate of formula (VII) and subsequently reacting the thus obtained intermediate of formula (VIII) with an amine derivative of formula (III) in a reaction-inert solvent in the presence of a suitable base;



- wherein W^1, W^2 are suitable leaving groups, L_a is an optionally substituted C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-7} cycloalkyl and R^1, R^2, n and $-a^1=a^2-a^3=a^4-$ are as defined in claim 1;
- or if desired, converting compounds of formula (I') into each other following art-known transformations, and further, if desired, converting compounds of formula (I') into a therapeutically active non-toxic acid addition salt by treatment with an acid, or into a therapeutically active non-toxic base addition salt by treatment with a base, or conversely, converting the acid addition salt form into the free base by treatment with alkali, or converting the base addition salt into the free acid by treatment with acid; and, if desired, preparing stereochemically isomeric forms or *N*-oxides thereof.
10. The combination of a compound as defined in any one of claims 1 to 5 and another antiretroviral compound.
 11. A combination as claimed in claim 10 for use as a medicine.
 12. A product containing (a) a compound as defined in any one of claims 1 to 5, and (b) another antiretroviral compound, as a combined preparation for simultaneous, separate or sequential use in anti-HIV treatment.
 13. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and as active ingredients (a) a compound as defined in any one of claims 1 to 5, and (b) another antiretroviral compound.